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FILE 'HOME' ENTERED AT 20:28:46 ON 21 APR 2002)

     FILE 'CAPLUS' ENTERED AT 20:29:13 ON 21 APR 2002
L1            33 S 104987-12-4/PREP
L2             5 S 137071-32-0/PREP
L3            38 S L1 OR L2
L4        262460 S UREA OR (INORGANIC SALT?) OR GLYCOLIC OR LACTIC OR LACTAMIDE
L5             3 S SOLDIUM CHLORIDE
L6        341520 S L4 OR ( SODIUM CHLORIDE)
L7             1 S L3 AND L6
L8        268387 S UREA OR (INORGANIC (3A) SALT?) OR GLYCOLIC OR LACTIC OR LACTA
L9        347291 S L8 OR ( SODIUM CHLORIDE)
L10         9464 S L9 AND (HYDROCARBON OR PETROLATUM OR WAX OR PARAFFIN)
L11            0 S L10 AND L3
                 S 104987-12-4/REG#

     FILE 'REGISTRY' ENTERED AT 20:38:09 ON 21 APR 2002
L12            1 S 104987-12-4/RN

     FILE 'CAPLUS' ENTERED AT 20:38:09 ON 21 APR 2002
L13          235 S L12
                 S 137071-32-0/REG#

     FILE 'REGISTRY' ENTERED AT 20:38:22 ON 21 APR 2002
L14            1 S 137071-32-0/RN

     FILE 'CAPLUS' ENTERED AT 20:38:23 ON 21 APR 2002
L15           33 S L14
L16          258 S L13 OR L15
L17            1 S L16 AND L10
                 S CYCLOSPORIN OR  104987-11-3/REG#

     FILE 'REGISTRY' ENTERED AT 20:44:44 ON 21 APR 2002
L18            1 S 104987-11-3/RN

     FILE 'CAPLUS' ENTERED AT 20:44:44 ON 21 APR 2002
L19         3393 S L18
L20        14257 S CYCLOSPORIN OR L19
L21            7 S L20 AND L10

     FILE 'USPATFULL' ENTERED AT 20:47:44 ON 21 APR 2002
L22         3506 S L12 OR L13 OR L20
L23         1165 S L22 AND L10
L24          116 S L12
L25          116 S L13
L26          116 S L24 OR L25
L27          116 S L13
L28            0 S 104987-12-4
L29          114 S 104987-12-4/RN
L30            7 S 137071-32-0/RN
L31          278 S PIMECRILIMUS OR DESOXYASCOMYCIN OR ASCOMYCIN OR FR520 OR FK52
L32          282 S L31 OR L29 OR L30
L33          144 S L32 AND L10
L34            9 S L32 (2S) (L6)
L35       324207 S (HYDROCARBON OR PETROLATUM OR WAX OR PARAFFIN)
L36            1 S L34 (2S) L35
L37            1 S L34 (5S) L35
L38            7 S L34 AND L35

=> save all
ENTER NAME OR (END):109871367/l
L# LIST L1-L38 HAS BEEN SAVED AS 'L09871367/L'
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=> save l32
ENTER NAME OR (END):ascomycn/a
ANSWER SET L32 HAS BEEN SAVED AS 'ASCOMYCN/A'

=> save l38
ENTER NAME OR (END):1ascomycn/a
1ASCOMYCN/A IS NOT A VALID SAVED NAME
Enter the name you wish to use for the saved query,
answer set, or L-number list.  The name must:
  1. Begin with a letter,
  2. Have 1-12 characters,
  3. Contain only letters (A-Z) and numbers (0-9),
  4. End with /Q for a query (search profile,
     structure, or screen set), /A for an answer
     set, or /L for an L-number list.
  5. Not already be in use as a saved name,
  6. Not be END, SAV, SAVE, SAVED
  7. Not have the form of an L-number (Lnnn).
ENTER NAME OR (END):ascomycn1/a
ANSWER SET L38 HAS BEEN SAVED AS 'ASCOMYCN1/A'
```

L38 ANSWER 1 OF 7 USPATFULL

SUMM [0033] The carrier vehicle further comprises means to hinder water evaporating from the skin, e.g. **hydrocarbons**. **Hydrocarbons** may be selected from a group comprising

SUMM [0034] i) **petrolatum**, e.g. white **petrolatum**, e.g. as known and commercially available from e.g. Mineral Chemie AG, Germany;

SUMM [0035] ii) liquid **paraffin**, e.g. as known and commercially available from e.g. Mobil BP Oiltech, Switzerland;

SUMM [0036] iii) solid **paraffin**; or microcrystalline **wax**, e.g. as known and commercially available under the trade name Esma.RTM. M from Schlter, Germany; and

SUMM [0037] iv) a reaction product of a **paraffin** and a polyethylene, e.g. a polyethylene having a molecular weight of from 10000 to about 400000 Daltons, e.g. 21000 Daltons, e.g. as known under the name Hydrophobes Basisgel DAC and commercially available under the trade name Plastibase.RTM., from e.g. Hansen & Rosenthal, Germany (Fiedler, H. P., loc. cit, 2, p. 1198).

SUMM [0039] **Hydrocarbons** may be present in amount of from 70 to about 95%, preferably of from 75 to about 90%, more preferably about 85% by weight based on the total weight of the composition.

SUMM [0040] The amount and the type of **hydrocarbons** in the composition may depend on the desired viscosity of the composition as is conventional.

SUMM [0041] Preferably the ascomycin and the **hydrocarbon** are present in a weight ratio of 0.05 to 3:70 to 95, more preferably in a weight ratio of 0.1 to 2 :75 to 90, even more preferably in a weight ratio of 0.4 to 1: about 85.

SUMM [0044] (ii) a **hydrocarbon**.

SUMM [0049] i) liquid **waxes**, e.g. natural-, synthetic-, semisynthetic- or emulsifying-**waxes**. Preferably isopropyl myristate, e.g. as known and commercially available from Henkel, Germany; oleyl erucate, e.g. as known and commercially available under the trade name Cetiol.RTM. J600 from e.g. Henkel, Germany; diisopropyl adipate, e.g. as known and commercially available under the trade name Isopat.RTM. 1794 from e.g. Dargoco, Germany; and/or oleyl oleate, e.g. as known and commercially available under the trade name Cetiol.RTM. from e.g. Henkel, Germany, may be used;

SUMM [0062] Preferably the **ascomycin**, the **urea**, the **hydrocarbon** and the liquid means, when present, are present in a weight ratio of 0.05 to 3:0.1 to 20:70 to 95:1 to 15, more preferably in a weight ratio of 0.1 to 2:5 to 15:75 to 90:2 to 10, even more preferably in a weight ratio of 0.4 to 1: about 5: about 85 : about 5.

SUMM [0075] vi) solid **waxes**, e.g. bees **wax** or carnauba **wax**; and

SUMM [0107] For example, the composition of the invention may be obtained by suspending the **ascomycin** and the **urea** in a mixture of liquid **hydrocarbons** and the lipophilic or polar solvent. Solid **hydrocarbons** may be mixed into the suspension in conventional manner. Alternatively, the composition of the invention may be obtained by suspending the **ascomycin** and the **urea**

in a mixture of liquid **hydrocarbons**, solid **hydrocarbons** and the solvent as conventional. Other, e.g conventional, excipients may be added at the appropriate time. The utility of the compositions according to the invention can be observed in standard clinical tests such as the test set out below.

DETD [0116] An ointment is prepared having the following composition (amounts in g)

Compound A	1
Urea	10
Petrolatum	39
Wax, microcrystalline	10
Paraffin, liquid	35
Isopropyl myristate	5
Total	100

DETD [0117] The composition is prepared by suspending Compound A and **urea** in liquid **paraffin** and isopropylmyristate and heating to about 70.degree. C. White **petrolatum** and microcrystalline **wax** are heated to about 85.degree. C., cooled to about 70.degree. C. and slowly added to the **ascomycin** mixture. The composition is then cooled to room temperature. An ointment is formed.

DETD [0120] An ointment is prepared having the same composition as in Example 1.1. The composition is prepared by heating liquid **paraffin**, microcrystalline **wax**, white **petrolatum** and isopropylmyristate to about 85.degree. C., cooling to about 70.degree. C. and suspending Compound A and urea in the mixture obtained. The composition is then cooled to room temperature. An ointment is formed.

	Example 2	3	4	5	6	7
Compound A	1	0.1	1	2	2	1.5
Means to retain water in the outer skin layer						
Urea	5	0.1	10	7.5	10	2
Means to hinder water evaporating from the skin						
Petrolatum	44	99.8	84	85.5	86	73
Wax, microcryst.	10	--	--	--	--	--
Paraffin, liquid	35	--	--	--	--	20
Liquid means						
Isopropyl myristate	5	--	--	--	--	--
Diisopropyl adipate	--	--	5	--	--	--
Oleyl erucate	--	--	--	--	--	3.5
Oleyl alcohol	--	--	--	5	--	--
Propylene glycol	--	--	--	--	2	--
Total	100	100	100	100	100	100

	Example 8	9	10	11	12	13
Compound A	1	1	0.2	0.5	0.5	1
Means to retain water in the outer skin layer						
Urea	--	--	--	10	3	10
Sodium lactate	5	--	--	--	--	--
Sodium chloride	--	15	--	--	3	--
Sodium 2-pyrrolidone-5-carboxylate	--	--	2	--	--	--
Means to hinder water evaporating from the skin						
Petrolatum	69	--	75.8	61.5	87.5	87
Wax, microcryst.	--	--	5	2	--	--

Paraffin, liquid	15	--	15	--	--	--
Plastibase .RTM.	--	84	--	--	--	--
Liquid means						
Oleyl oleate	--	--	--	--	--	7
Oleyl alcohol	--	--	--	10	--	--
Miglyol .RTM. 812	--	--	2	--	--	--
Propylene glycol	--	--	--	5	--	--
Dimethyl isosorbide	--	--	--	--	2	--
Thickeners						
Cetyl alcohol	5	--	--	--	--	--
Stearyl alcohol	5	--	--	--	--	--
Glycerol monostearate	--	--	--	5	--	--
Aerosil .RTM. 200	--	--	--	4	--	--
Emulsifiers						
Sorbitan sesquioleate	--	--	--	--	5	5
Water	--	--	--	2	--	--
Total	100	100	100	100	100	100

CLM What is claimed is:

1. A composition for topical administration of an **ascomycin** for treatment of skin disorders which composition comprises a carrier vehicle comprising (i) means to retain water in the outer skin layer comprising a **urea**, an **inorganic salt**, or a carboxylic acid, and (ii) means to hinder water evaporating from the skin.

4. A composition as claimed in any one of claims 1 to 3 wherein the means to hinder water evaporating from the skin is a **hydrocarbon** .

5. A composition as claimed in claim 4 wherein the **hydrocarbon** comprises **petrolatum**, liquid **paraffin**, microcrystalline **wax**, solid **paraffin**, or a reaction product of **paraffin** and polyethylene.

7. A composition as claimed in claim 6 wherein the liquid means comprises a **wax**, a fatty alcohol, a fatty acid, or a fatty oil.

ACCESSION NUMBER: 2001:229697 USPATFULL

TITLE: Topical compositions comprising ascomycins

INVENTOR(S): Kriwet, Katrin, Grenzach-Wyhlen, Germany, Federal Republic of
Ledergerber, Dorothea, Lorrach, Germany, Federal Republic of
Riedl, Jutta, Grenzach, Germany, Federal Republic of

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001051650	A1	20011213
APPLICATION INFO.:	US 2001-871367	A1	20010531 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 1999-EP9351, filed on 1 Dec 1999, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1998-26656	19981203

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: THOMAS HOXIE, NOVARTIS CORPORATION, PATENT AND TRADEMARK DEPT, 564 MORRIS AVENUE, SUMMIT, NJ, 079011027

NUMBER OF CLAIMS: 13

EXEMPLARY CLAIM: 1
LINE COUNT: 613
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L38 ANSWER 2 OF 7 USPATFULL

SUMM wherein aliphatic and heteroaliphatic moieties include both saturated and unsaturated straight chain, branched, cyclic, or polycyclic aliphatic **hydrocarbons** which may contain oxygen, sulfur, or nitrogen in place of one or more carbon atoms, and which are optionally substituted with one or more functional groups selected from the group consisting of hydroxy, C.sub.1 -C.sub.8 alkoxy, acyloxy, carbamoyl, amino, N-acylamino, ketone, halogen, cyano, carboxyl, and aryl (unless otherwise specified, the alkyl, alkoxy and acyl groups preferably contain 1-6 contiguous aliphatic carbon atoms);

SUMM Certain compounds of this invention contain substituents ("bumps") which diminish, and preferably substantially preclude, their binding to native FKBP12 or other native immunophilins but which permit binding to mutant FKBPs. Mutant FKBPs may be obtained and screened for binding to a selected multimerizing compound as described in PCT/US94/01617 and PCT/US94/08008. Multimerizing agents containing such bumps permit more selective binding to mutant FKBPs or chimeras containing engineered FKBP domains without interference by indigenous pools of FKBP12, which is desirable for certain applications, especially uses in whole organisms. Preferably the bump-containing monomers and their related multimerizing agents of this invention bind to FKBP12 and/or inhibit rotamase activity of FKBP12 at least about an order of magnitude less than any of FK506, **FK520** or rapamycin. Such assays are well known in the art. See e.g. Holt et al., J. Amer. Chem Soc., supra. The diminution in inhibitory activity may be as great as about 2 orders of magnitude, and in some cases will exceed about three orders of magnitude. Useful bump substituents include but are not limited to alkyl, aryl, --O-alkyl, --O-aryl, substituted or unsubstituted amine, amide, carbamide and **ureas**, where alkyl and aryl are as previously defined. See e.g. PCT/US94/01617 and PCT/US94/08008.

SUMM Aliphatic and heteroaliphatic moieties include both saturated and unsaturated straight chain, branched, cyclic, or polycyclic aliphatic **hydrocarbons** which may contain oxygen, sulfur, or nitrogen in place of one or more carbon atoms, and which are optionally substituted with one or more functional groups selected from the group consisting of hydroxy, C.sub.1 -C.sub.8 alkoxy, acyloxy, carbamoyl, amino, N-acylamino, ketone, halogen, cyano, carboxyl, and aryl (unless otherwise specified, the alkyl, alkoxy and acyl groups preferably contain 1-6 contiguous aliphatic carbon atoms).

ACCESSION NUMBER: 2000:138540 USPATFULL
TITLE: Synthetic multimerizing agents
INVENTOR(S): Holt, Dennis A., Stow, MA, United States
Keenan, Terence P., Cambridge, MA, United States
Guo, Tao, Somerset, NJ, United States
Laborde, Edgardo, Foster City, CA, United States
Yang, Wu, Chestnut Hill, MA, United States
PATENT ASSIGNEE(S): ARIAD Gene Therapeutics, Inc., Cambridge, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6133456		20001017
APPLICATION INFO.:	US 1997-808276		19970228 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1995-793016, filed on 18 Aug 1995, now abandoned And Ser. No. US 1995-479694, filed on 7 Jun 1995 which is a

continuation-in-part of Ser. No. US 1994-292598, filed on 18 Aug 1994, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: Coleman, Brenda
LEGAL REPRESENTATIVE: Berstein, David L., Hausdorff, Sharon F.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 2733
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L38 ANSWER 3 OF 7 USPATFULL

SUMM Process variant b) is a cyanidation reaction. It preferably is effected in an inert solvent such as a chlorinated **hydrocarbon**, e.g. dichloromethane. The temperature preferably is about room temperature. The base is e.g. 4-dimethylaminopyridine.

SUMM The second procedure according to process variant b) is effected by reaction with thiophosgene, preferably in the presence of an acid scavenger such as 4-dimethylaminopyridine. Preferably an inert solvent such as acetonitrile is used. The temperature preferably is about room temperature. The subsequent reaction with an inorganic azide is preferably effected with sodium azide. The resultant compounds IIb are unstable and decompose already at room temperature to compounds Ib, under splitting off of nitrogen and sulfur. This reaction step preferably is effected in an inert solvent such as an aromatic **hydrocarbon**, e.g. benzene. Temperature preferably is elevated, e.g. about 50.degree. C.

SUMM Process variant e) is an acylation. It is preferably effected in an inert solvent such as acetonitrile. The acylating agent preferably is an activated acyl derivative, such as an acyl halogenide or anhydride. An acid scavenger such as dimethylaminopyridine or pyridine is employed. Further, a compound IIa may also be reacted with a carboxylic acid such as glycine protected at the amino moiety by e.g. tert-butoxycarbonyl, or with a compound of formula R.sub.8 R.sub.9 CHCOOH wherein R.sub.8 is protected hydroxy and R.sub.9 is hydrogen or methyl, and a carbodiimide such as N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide or N,N'-dicyclo-hexylcarbodiimide, where indicated in the presence of a base, such as 4-dimethylaminopyridine, preferably in an inert solvent such as acetonitrile or in a chlorinated **hydrocarbon**. An amino protecting group may subsequently be split off together with any hydroxy protecting group which may be present. If in the starting compound IIa R.sub.2 is hydroxy and there is a single bond in 23,24 position, upon acylation splitting off of a water molecule in 23,24 position may occur and a compound Ie be formed wherein R.sub.2 is absent and there is a double bond in 23,24 position.

SUMM Process variant g) is a methylation. It preferably is effected in an inert solvent such as a chlorinated **hydrocarbon**, e.g. dichloromethane. The methylating agent preferably is diazomethane in the presence of e.g. borotrifluoride-etherate. Temperature preferably is from about 0.degree. to about room temperature.

DETD A solution of 2 g 24-tert-butyldimethylsilyloxy-**FR 520** and 2 g 4-dimethylaminopyridine in 50 ml of acetonitrile is carefully reacted with 0.4 ml of thiophosgen and the mixture stirred for 3 hours at room temperature. The reaction mixture is poured onto a well-stirred mixture consisting of 150 ml of acetic acid ethyl ester, 40 ml of saturated aqueous **sodium chloride** solution and 50 ml of 2 N sodium azide solution, vigourous stirring is continued for 5 minutes and the organic phase is separated. The organic phase is then

successively washed with water, 1 N hydrochloric acid solution, water, and saturated aqueous **sodium chloride** solution, dried over sodium sulfate, filtered and concentrated under reduced pressure. The residue is taken up in about 100 ml of benzene and heated at 30-40.degree. for 2 hours. The benzene is removed under reduced pressure and the title compound is recovered from the residue as a colourless foamy resin by column chromatography over silicagel (eluant: n-hexane/acetic acid ethyl ester):

DETD 0.5 g 24-tert-butyldimethylsilyloxy-33-cyanoxy-**FK 520** (compound of Examples 7 and 8) or 33-cyanoxy-**FR 520** (compound of Example 10a) is dissolved into a mixture of 50 ml of acetonitrile and 2 ml or 40% wt. aqueous hydrofluoric acid and the mixture is stirred for 2.5 hours at room temperature. The reaction mixture is then distributed between acetic acid ethyl ester and saturated aqueous sodium bicarbonate solution, the aqueous phase is discarded and the organic phase is washed with saturated aqueous **sodium chloride** solution, dried over sodium sulfate, filtered and concentrated under reduced pressure. The title compound is obtained as a colourless foamy resin from the residue by column chromatography over silicagel (eluant: n-hexane/acetic acid ethyl ester):

DETD To a solution of 450 mg 24-tert-butyldimethylsilyloxy-**FR 520** and 120 mg tert-butyldimethylsilyloxy-(S)-**lactic** acid in 10 ml of dichloromethane are added at room temperature 120 mg N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide hydrochloride and 23 mg dimethylaminopyridine. After 60 hours the reaction mixture is diluted with acetic acid ethyl ester, washed with successively 0.5 N hydrochloric acid and then water, dried over sodium sulfate filtered and the solvent is evaporated under reduced pressure. The residue is chromatography over silicagel (eluant: n-hexane/acetic acid ethyl ester 2:1). The title compound is obtained as a colourless foam:

DETD 2 g 24-tert-butyldimethylsilyloxy-**FR 520** and 1 g N-methylmorpholin-N-oxide are dissolved in 100 ml of methylene chloride, reacted with 5 g molecular sieve (Molsieb 4A) and the mixture is stirred for 15 minutes at room temperature. 0.15 g tetrapropylammonium perruthenate is added and stirring is continued for 3 more hours at room temperature. The mixture is concentrated, the residue is taken up in acetic acid ethyl ester and the solution successively washed with saturated aqueous sodium hydrogen sulfite solution, saturated aqueous **sodium chloride** and saturated aqueous copper sulfate solution and the organic phase is dried over sodium sulfate, filtered and concentrated under reduced pressure. The title compound is obtained from the residue following column chromatographic over silicagel (eluant: n-hexane/acetic acid ethyl ester).

DETD A solution of 1.2 g 29-des-(4-hydroxy-3-methylcyclohexyl)-29-(3-formylcyclopentyl)-**FR 520** (compound of Example 12), 1.5 g tert-butyldimethylsilyl chloride and 0.8 g imidazole in 20 ml of dry dimethylformamide is stirred for 15 hours at room temperature and thereafter partitioned between 1 N hydrochloric acid solution and acetic acid ethyl ester. The organic phase is separated, washed with saturated aqueous **sodium chloride** solution, dried over sodium sulfate, filtered and concentrated under reduced pressure. The title compound is obtained from the residue as a colourless foamy resin following column chromatography over silicagel (eluant: n-hexane/acetic acid ethyl ester):

ACCESSION NUMBER: 1999:67257 USPATFULL
TITLE: Heteroatoms-containing tricyclic compounds
INVENTOR(S): Baumann, Karl, Vienna, Austria
Emmer, Gerhard, Vienna, Austria
PATENT ASSIGNEE(S): Novartis AG, Basel, Switzerland (non-U.S. corporation)

NUMBER	KIND	DATE

PATENT INFORMATION: US 5912238 19990615
APPLICATION INFO.: US 1994-276276 19940718 (8)
RELATED APPLN. INFO.: Division of Ser. No. US 1991-697864, filed on 9 May 1991, now patented, Pat. No. US 5352671 which is a continuation-in-part of Ser. No. US 1990-609280, filed on 5 Nov 1990, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1989-3937336	19891109
	DE 1989-3938132	19891116
	DE 1989-3942831	19891223
	DE 1989-3942833	19891223
	DE 1990-4006819	19900305

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Raymond, Richard L.
LEGAL REPRESENTATIVE: Loeschorn, Carol A.
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 1593
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L38 ANSWER 4 OF 7 USPATFULL
DETD When culture N927-101SC50 was compared with FK-506 producing culture S. tsukubaensis BP-927 and **FK-520** and FK-523 producing culture S. hygroscopicus subsp. yakushimaensis BP-928 (available from the Fermentation Research Institute in Japan), the differences are apparent (Table 1). In addition, culture S. tsukubaensis produced an orange tint of soluble pigment on yeast extract-malt extract agar, oatmeal agar, and glucose-asparagine agar; whereas S. hygroscopicus subsp. yakushimaensis produced no distinct soluble pigment. On yeast extract-malt extract agar, and **inorganic salts** -starch agar, the colony reverse was brown and gray-pink, respectively, for S. tsukubaensis, but was gray to dark gray and yellow-gray to black for S. hygroscopicus subsp. yakushimaensis.
DETD The carbon and-nitrogen sources, though advantageously employed in combination, need not be used in their pure form. Less pure materials, which contain traces of growth factors and considerable quantities of mineral nutrients, are also suitable for use. When desired, there may be added to the medium mineral salts such as sodium or calcium carbonate, sodium or potassium phosphate, sodium or potassium chloride, sodium or potassium iodide, magnesium salts, copper salts, iron salts, zinc salts, cobalt salts, and the like. If necessary, especially when the culture medium foams, a defoaming agent, such as liquid **paraffin**, fatty oil, plant oil, mineral oil or silicone may be added.
ACCESSION NUMBER: 96:16900 USPATFULL
TITLE: Streptomyces braegensis strain and its cultivation in a process for producing C.sub.9 -desoxo-FK-520
INVENTOR(S): Cullen, Walter P., East Lyme, CT, United States
Guadliana, Mark A., Stonington, CT, United States
Huang, Liang H., East Lyme, CT, United States
Kaneda, Keiji, Chita, Japan
Kojima, Nakao, Nagoya, Japan
Kostek, Gloria, Preston, CT, United States
Nishiyama, Satoshi, Chita, Japan
Yamauchi, Yuji, Handa, Japan
Kojima, Yasuhiro, Nishio, Japan
PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S. corporation)

NUMBER	KIND	DATE

PATENT INFORMATION:	US 5494820	19960227
	WO 9218506	19921029
APPLICATION INFO.:	US 1994-129159	19940124 (8)
	WO 1992-US2324	19920327
		19940124 PCT 371 date
		19940124 PCT 102(e) date
RELATED APPLN. INFO.:	Continuation of Ser. No. US 1991-683639, filed on 11 Apr 1991, now abandoned	
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Marx, Irene	
LEGAL REPRESENTATIVE:	Richardson, Peter C., Ginsburg, Paul H., Butterfield, Garth	
NUMBER OF CLAIMS:	7	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	2 Drawing Figure(s); 2 Drawing Page(s)	
LINE COUNT:	840	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L38 ANSWER 5 OF 7 USPATFULL

SUMM Process variant b) is a cyanidation reaction. It preferably is effected in an inert solvent such as a chlorinated **hydrocarbon**, e.g. dichloromethane. The temperature preferably is about room temperature. The base is e.g. 4-dimethylaminopyridine.

SUMM The second procedure according to process variant b) is effected by reaction with thiophosgene, preferably in the presence of an acid scavenger such as 4-dimethylaminopyridine. Preferably an inert solvent such as acetonitrile is used. The temperature preferably is about room temperature. The subsequent reaction with an inorganic azide is preferably effected with sodium azide. The resultant compounds IIb are unstable and decompose already at room temperature to compounds Ib, under splitting off of nitrogen and sulfur. This reaction step preferably is effected in an inert solvent such as an aromatic **hydrocarbon**, e.g. benzene. Temperature preferably is elevated, e.g. about 50.degree. C.

SUMM Process variant e) is an acylation. It is preferably effected in an inert solvent such as acetonitrile. The acylating agent preferably is an activated acyl derivative, such as an acyl halogenide or anhydride. An acid scavenger such as dimethylaminopyridine or pyridine is employed. Further, a compound IIa may also be reacted with a carboxylic acid such as glycine protected at the amino moiety by e.g. tert-butoxycarbonyl, or with a compound of formula R.sub.8 R.sub.9 CHCOOH wherein R.sub.8 is protected hydroxy and R.sub.9 is hydrogen or methyl, and a carbodiimide such as N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide or N,N'-dicyclo-hexylcarbodiimide where indicated in the presence of a base, such as 4-dimethylaminopyridine, preferably in an inert solvent such as acetonitrile or in a chlorinated **hydrocarbon**. An amino protecting group may subsequently be split off together with any hydroxy protecting group which may be present. If in the starting compound IIa R.sub.2 is hydroxy and there is a single bond in 23,24 position, upon acylation splitting off of a water molecule in 23,24 position may occur and a compound Ie be formed wherein R.sub.2 is absent and there is a double bond in 23,24 position.

SUMM Process variant g) is a methylation. It preferably is effected in an inert solvent such as a chlorinated **hydrocarbon**, e.g. dichloromethane. The methylating agent preferably is diazomethane in the presence of e.g. borotrifluoride-etherate. Temperature preferably is from about 0.degree. to about room temperature.

DETD A solution of 2 g 24-tert-butyldimethylsilyloxy-**FR 520**

and 2 g 4-dimethylaminopyridine in 50 ml of acetonitrile is carefully reacted with 0.4 ml of thiophosgene and the mixture stirred for 3 hours at room temperature. The reaction mixture is poured onto a well-stirred mixture consisting of 150 ml of acetic acid ethyl ester, 40 ml of saturated aqueous **sodium chloride** solution and 50 ml of 2 N sodium azide solution, vigourous stirring is continued for 5 minutes and the organic phase is separated. The organic phase is then successively washed with water, 1N hydrochloric acid solution, water, and saturated aqueous **sodium chloride** solution, dried over sodium sulfate, filtered and concentrated under reduced pressure. The residue is taken up in about 100 ml of benzene and heated at 30.degree.-40.degree. for 2 hours. The benzene is removed under reduced pressure and the title compound is recovered from the residue as a colourless foamy resin by column chromatography over silicagel (eluant: n-hexane / acetic acid ethyl ester):

DETD 0.5 g 24-tert-butyldimethylsilyloxy-33-cyanoxy-**FK 520** (compound of Examples 7 and 8) or 33-cyanoxy-**FR 520** (compound of Example 10a) is dissolved into a mixture of 50 ml of acetonitrile and 2 ml of 40% wt. aqueous hydrofluoric acid and the mixture is stirred for 2.5 hours at room temperature. The reaction mixture is then distributed between acetic acid ethyl ester and saturated aqueous sodium bicarbonate solution, the aqueous phase is discarded and the organic phase is washed with saturated aqueous **sodium chloride** solution, dried over sodium sulfate, filtered and concentrated under reduced pressure. The title compound obtained as a colourless foamy resin from the residue by column chromatography over silicagel (eluant: n-hexane / acetic acid ethyl ester):

DETD To a solution of 450 mg 24-tert-butyldimethylsilyloxy-**FR 520** and 120 mg tert-butyldimethylsilyloxy-(S)-**lactic** acid in 10 ml of dichloromethane are added at room temperature 120 mg N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide hydrochloride and 23 mg dimethylaminopyridine. After 60 hours the reaction mixture is diluted with acetic acid ethyl ester, washed with successively. 0.5 N hydrochloric acid and then water, dried over sodium sulfate filtered and the solvent is evaporated under reduced pressure. The residue is chromatography over silicagel (eluant: n-hexane / acetic acid ethyl-ester 2:1). The title compound is obtained as a colourless foam:

DETD 2 g 24-tert-butyldimethylsilyloxy-**FR 520** and 1 g N-methylmorpholin-N-oxide are dissolved in 100 ml of methylene chloride, reacted with 5 g molecular sieve (Molsieb 4A) and the mixture is stirred for 15 minutes at room temperature. 0.15 g tetrapropylammonium perruthenate is added and stirring is continued for 3 more hours at room temperature. The mixture is concentrated, The residue is taken up in acetic acid ethyl ester and the solution successively washed with saturated aqueous sodium hydrogen sulfite solution, saturated aqueous **sodium chloride** and saturated aqueous copper sulfate solution and the organic phase is dried over sodium sulfate; filtered and concentrated under reduced pressure. The title compound is obtained from the residue following column chromatography over silicagel (eluant: n-hexane / acetic acid ethyl ester).

DETD A solution of 1.2 g 29-des-(4-hydroxy-3-methylcyclohexyl)-29-(3-formylcyclopentyl)-**FR 520** (compound of Example 12), 1.5 g tert-butyldimethylsilyl chloride and 0.8 g imidazole in 20 ml of dry dimethylformamide is stirred for 15 hours at room temperature and thereafter partitioned between 1 N hydrochloric acid solution and acetic acid ethyl ester. The organic phase is separated, washed with saturated aqueous **sodium chloride** solution, dried over sodium sulfate, filtered and concentrated under reduced pressure. The title compound is obtained from the residue as a colourless foamy resin following column chromatography over silicagel (eluant: n-hexane / acetic acid ethyl ester):

ACCESSION NUMBER: 94:86399 USPATFULL

TITLE:	Heteroatoms-containing tricyclic compounds
INVENTOR(S):	Baumann, Karl, Vienna, Austria Emmer, Gerhart, Vienna, Austria
PATENT ASSIGNEE(S):	Sandoz Ltd., Basel, Switzerland (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5352671		19941004
APPLICATION INFO.:	US 1991-697864		19910509 (7)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1990-609280, filed on 5 Nov 1990, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1989-3937336	19891109
	DE 1989-3938132	19891116
	DE 1989-3942831	19891223
	DE 1989-3942833	19891223
	DE 1990-4006819	19900305

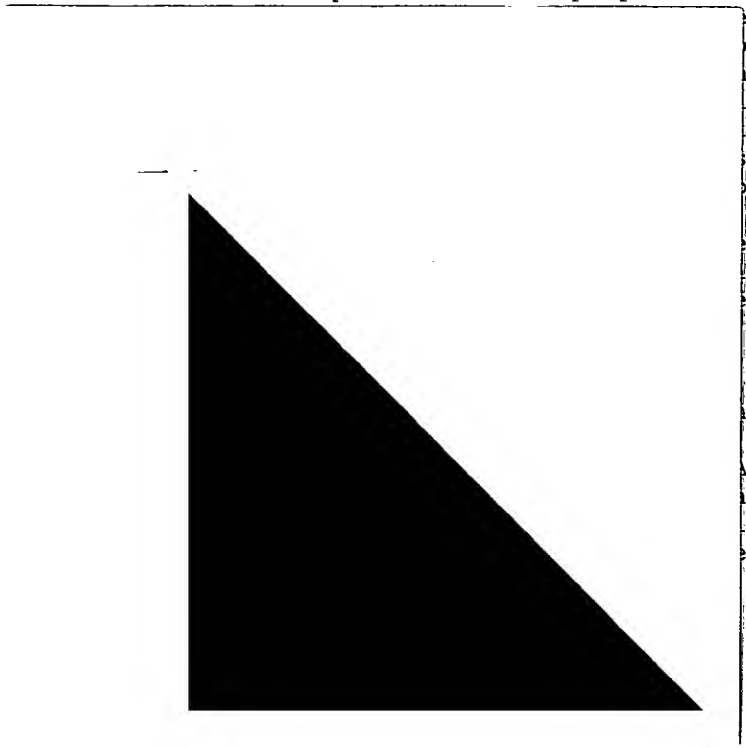
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Bond, Robert T.
LEGAL REPRESENTATIVE:	Honor, Robert S., Kassenoff, Melvyn M., McGovern, Thomas O.
NUMBER OF CLAIMS:	13
EXEMPLARY CLAIM:	1,7
LINE COUNT:	1515

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L38 ANSWER 6 OF 7 USPATFULL

DETD The carbon and nitrogen sources, though advantageously employed in combination, need not be used in their pure form, because less pure materials which contain traces of growth factors and considerable quantities of mineral nutrients, and also suitable for use. When desired, there may be added to the medium mineral salts such as sodium or calcium carbonate, sodium or potassium phosphate, sodium or potassium chloride, sodium or potassium iodide, magnesium salts, copper salts, cobalt salts, and the like. If necessary, especially when the culture medium foams seriously, a defoaming agent, such as liquid **paraffin**, fatty oil, plant oil, polypropylene glycol, mineral oil or silicone may be added.

DETD The pharmaceutical composition of this invention can be used in the form of a pharmaceutical preparation, for example, in solid, semisolid or



C-21 hydroxylated **FR-**
n, as an active ingredient, in
organic carrier or excipient suitable for
applications. The active ingredient may
h the usual non-toxic, pharmaceutically
, pellets, capsules, suppositories,
ns, and any other form suitable for use.
are water, glucose, lactose, gum acacia,
, magnesium trisilicate, talc, corn
ca, potato starch, **urea** and
in manufacturing preparations, in solid,
in addition auxiliary, stabilizing,
and perfumes may be used. The active
the pharmaceutical composition in an
e desired effect upon the process or

TFULL
ted FK-506 antagonist
o R., Gillette, NJ, United States
tte, Short Hills, NJ, United States

	Colwell, Jr., Lawrence F., Eatontown, NJ, United States Arison, Byron H., Watchung, NJ, United States Dumont, Francis, Rahway, NJ, United States
PATENT ASSIGNEE(S):	Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5225403		19930706
APPLICATION INFO.:	US 1991-720550		19910625 (7)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Nutter, Nathan M.
LEGAL REPRESENTATIVE:	North, Robert J., DiPrima, Joseph F., Caruso, Charles M.
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT:	526

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L38 ANSWER 7 OF 7 USPATFULL

SUMM Process variant d) (reaction with N,N'-carbonyl- or N,N'-thiocarbonyldiimidazole) preferably is effected in an inert solvent such as a chlorinated **hydrocarbon**, e.g. dichloromethane. The temperature preferably is room temperature. The imidazole preferably is added in portions.

SUMM In process variant h) (radical deoxygenation) preferably a tin hydride, especially tributyl tin hydride is used, preferably in the presence of a radical starter such as azoisobutyronitrile. Preferably the reaction is effected in an inert solvent such as an aromatic **hydrocarbon**, e.g. toluene. Temperatures between room temperature and the boiling point of the solvent are preferred, especially between about 80.degree. and about 110.degree. C.

DETD A solution of 1 g 33-tert-butyldimethylsilyloxy-**FR520** and 2 g 4-dimethylaminopyridine in 100 ml of acetonitrile is reacted with 0.5 ml of methanesulfonic acid chloride and the mixture is stirred at room temperature for 24 hours. Then the mixture is distributed between acetic acid ethyl ester and 1N aqueous hydrochloric acid solution, the organic phase is separated, washed with saturated aqueous **sodium chloride** solution, dried over sodium sulfate, filtered and concentrated under reduced pressure. The title compound is obtained as a colourless foamy resin from the residue using column chromatography over silicagel (eluant n-hexane/acetic acid ethyl ester 3:1):

DETD The starting material is obtained as follows: to a solution of 80 mg **FR520** in 3 ml of dichloromethane are added 15 mg imidazole and 17 mg tert-butyldimethylsilyl chloride under stirring. The reaction mixture is stirred for 2 hours at room temperature, diluted with saturated aqueous ammonium chloride solution and extracted thrice with diethyl ether. The extract is washed with water and saturated **sodium chloride** solution, dried over sodium sulfate, concentrated under reduced pressure and chromatographically purified. 33-O-tert-butyldimethylsilyloxy-**FR520** is obtained.

ACCESSION NUMBER:	93:27100 USPATFULL
TITLE:	Heteroatoms-containing tricyclic compounds
INVENTOR(S):	Edmunds, Andrew J. F., Vienna, Austria Grassberger, Maximilian, Vienna, Austria
PATENT ASSIGNEE(S):	Sandoz, Ltd., Basel, Switzerland (non-U.S. corporation)

	NUMBER	KIND	DATE

PATENT INFORMATION:	US 5200411	19930406
APPLICATION INFO.:	US 1991-710348	19910613 (7)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1991-656046, filed on 14 Feb 1991, now abandoned	

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1989-3919466	19890614
	DE 1989-3934991	19891020

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Bond, Robert T.
LEGAL REPRESENTATIVE:	Sharkin, Gerald D., Honor, Robert S., McGovern, Thomas O.
NUMBER OF CLAIMS:	7
EXEMPLARY CLAIM:	1,7
LINE COUNT:	1424

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN 137071-32-0 REGISTRY
CN 15,19-Epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)-tetrone, 3-[(1E)-2-[(1R,3R,4S)-4-chloro-3-methoxycyclohexyl]-1-methylethenyl]-8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-, (3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 15,19-Epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)-tetrone, 3-[2-(4-chloro-3-methoxycyclohexyl)-1-methylethenyl]-8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-14,16-dimethoxy-4,10,12,18-tetramethyl-, [3S-[3R*[E(1S*,3S*,4R*)],4S*,5R*,8S*,9E,12R*,14R*,15S*,16R*,18S*,19S*,26aR*]]-
OTHER NAMES:
CN **33-epi-Chloro-33-desoxyascomycin**
CN Pimecrolimus
CN SDZ-ASM 981
FS STEREOSEARCH
MF C43 H68 Cl N O11
SR CA
LC STN Files: ADISNEWS, BIOSIS, BIOTECHNO, CA, CAPLUS, CIN, DRUGNL, DRUGPAT, DRUGUPDATES, EMBASE, IPA, PHAR, SYNTHLINE, TOXLINE, TOXLIT, USPATFULL

Ring System Data

Elemental Analysis EA	Elemental Sequence ES	Size of the Rings SZ	Ring System Formula RF	Ring Identifier RID	RID Occurrence Count
C6	C6	6	C6	46.150.1	1
C5N-C5O-C18NO2	NC5-OC5-NC2OC13OC3	6-6-21	C25NO2	37331.1.1	1

Absolute stereochemistry.
Double bond geometry as described by E or Z.

L12 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2001 ACS
RN 104987-12-4 REGISTRY
CN 15,19-Epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)-tetrone, 8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-3-[(1E)-2-[(1R,3R,4R)-4-hydroxy-3-methoxycyclohexyl]-1-methylethenyl]-14,16-dimethoxy-4,10,12,18-tetramethyl-, (3S,4R,5S,8R,9E,12S,14S,15R,16S,18R,19R,26aS)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 15,19-Epoxy-3H-pyrido[2,1-c][1,4]oxaazacyclotricosine-1,7,20,21(4H,23H)-tetrone, 8-ethyl-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-3-[2-(4-hydroxy-3-methoxycyclohexyl)-1-methylethenyl]-14,16-dimethoxy-4,10,12,18-tetramethyl-, [3S-[3R*[E(1S*,3S*,4S*)],4S*,5R*,8S*,9E,12R*,14R*,15S*,16R*,18S*,19S*,26aR*]]-
OTHER NAMES:
CN Ascomycin
CN FK 520
CN FR 520
CN FR 900520
CN Immunomycin
CN L 683590
FS STEREOSEARCH
DR 11011-38-4, 159430-76-9, 126340-36-1, 133876-12-7, 136457-58-4, 137767-75-0, 148400-02-6
MF C43 H69 N O12
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, AIDSLINE, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CEN, CHEMCATS, CIN, CSCHEM, DDFU, DRUGU, EMBASE, IFICDB, IFIUDB, MEDLINE, NAPRALERT, PHAR, PROMT, RTECS*, TOXLINE, TOXLIT, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

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     (FILE 'HOME' ENTERED AT 20:28:46 ON 21 APR 2002)

     FILE 'CAPLUS' ENTERED AT 20:29:13 ON 21 APR 2002
L1            33 S 104987-12-4/PREP
L2             5 S 137071-32-0/PREP
L3            38 S L1 OR L2
L4        262460 S UREA OR (INORGANIC SALT?) OR GLYCOLIC OR LACTIC OR LACTAMIDE
L5             3 S SOLDIUM CHLORIDE
L6        341520 S L4 OR ( SODIUM CHLORIDE)
L7             1 S L3 AND L6
L8        268387 S UREA OR (INORGANIC (3A) SALT?) OR GLYCOLIC OR LACTIC OR LACTA
L9        347291 S L8 OR ( SODIUM CHLORIDE)
L10         9464 S L9 AND (HYDROCARBON OR PETROLATUM OR WAX OR PARAFFIN)
L11            0 S L10 AND L3
                 S 104987-12-4/REG#

     FILE 'REGISTRY' ENTERED AT 20:38:09 ON 21 APR 2002
L12            1 S 104987-12-4/RN

     FILE 'CAPLUS' ENTERED AT 20:38:09 ON 21 APR 2002
L13          235 S L12
                 S 137071-32-0/REG#

     FILE 'REGISTRY' ENTERED AT 20:38:22 ON 21 APR 2002
L14            1 S 137071-32-0/RN

     FILE 'CAPLUS' ENTERED AT 20:38:23 ON 21 APR 2002
L15           33 S L14
L16          258 S L13 OR L15
L17            1 S L16 AND L10
                 S CYCLOSPORIN OR  104987-11-3/REG#

     FILE 'REGISTRY' ENTERED AT 20:44:44 ON 21 APR 2002
L18            1 S 104987-11-3/RN

     FILE 'CAPLUS' ENTERED AT 20:44:44 ON 21 APR 2002
L19         3393 S L18
L20        14257 S CYCLOSPORIN OR L19
L21            7 S L20 AND L10

     FILE 'USPATFULL' ENTERED AT 20:47:44 ON 21 APR 2002
L22         3506 S L12 OR L13 OR L20
L23         1165 S L22 AND L10
L24          116 S L12
L25          116 S L13
L26          116 S L24 OR L25
L27          116 S L13
L28            0 S 104987-12-4
L29          114 S 104987-12-4/RN
L30            7 S 137071-32-0/RN
L31          278 S PIMECRILIMUS OR DESOXYASCOMYCIN OR ASCOMYCIN OR FR520 OR FK52
L32          282 S L31 OR L29 OR L30
L33          144 S L32 AND L10

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US 1996-665719 A3 19960618

L21 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2002 ACS

AB A topical semisolid compn. is claimed for use on mucosal membranes which comprises one or more hydrophilic polymers suspended in a nonaq. matrix. The compn. may be combined with a therapeutic agent to assist in healing mucosal lesions. The active agent may be a local anesthetic suitable for treatment of canker sores or Behcet's syndrome, a corticosteroid for treatment of lichen planus, or **cyclosporin** A, or an antimicrobial or antifungal agent. Thus, a formulation can be prepd. which contains 4-10% Carbopol, 4-10% Gantrez MS-955, 4-10% cellulose gum, and 70-88% white **petrolatum**.

IT Corn oil
Corticosteroids, biological studies
Glycerides, biological studies
Olive oil
Paraffin oils
Peanut oil
Petrolatum
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(improved topical carriers for mucosal drug applications)

IT 50-21-5, **Lactic** acid, biological studies 50-23-7, Hydrocortisone 53-36-1, Methylprednisolone acetate 56-25-7, Cantharidin 61-12-1, Dibucaine hydrochloride 64-72-2, Chlortetracycline hydrochloride 64-75-5, Tetracycline hydrochloride 67-73-2, Fluocinolone acetonide 69-72-7, Salicylic acid, biological studies 73-78-9, Lidocaine hydrochloride 76-25-5, Triamcinolone acetonide 79-57-2, Oxytetracycline 85-79-0, Dibucaine 94-09-7, Benzocaine 94-24-6, Tetracaine 136-47-0 137-58-6, Lidocaine 382-67-2, Desoximetasone 536-43-6, Dyclonine hydrochloride 577-48-0, Butamben picrate 637-58-1, Pramoxine hydrochloride 638-94-8, Desonide 1404-04-2, Neomycin 1404-26-8, Polymyxin b 1405-87-4, Bacitracin 1405-97-6, Gramicidin 1524-88-5, Flurandrenolide 2152-44-5, Betamethasone valerate 2773-92-4, Dimethisoquin hydrochloride 5593-20-4, Betamethasone dipropionate 9003-01-4, Polyacrylic acid 9004-62-0, Natrosol 9007-20-9, Carbopol 13609-67-1, Hydrocortisone butyrate 22199-08-2, Silver sulfadiazine 22832-87-7, Miconazole nitrate 25122-46-7, Clobetasol propionate 33564-31-7, Diflorasone diacetate 41621-49-2, Ciclopirox olamine 51022-69-6, Amcinonide 57524-89-7, Hydrocortisone valerate 59865-13-3, **Cyclosporin** a 64211-46-7, Oxiconazole nitrate 64872-77-1, Butoconazole nitrate 65277-42-1, Ketoconazole 73816-42-9, Meclocycline sulfosalicylate 94290-13-8, Gantrez ms-955
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(improved topical carriers for mucosal drug applications)

ACCESSION NUMBER: 1996:359823 CAPLUS
DOCUMENT NUMBER: 125:19006
TITLE: Improved topical carriers for mucosal applications
INVENTOR(S): Osborne, David W.
PATENT ASSIGNEE(S): Virotex Corporation, USA
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9609829	A1	19960404	WO 1995-US12288	19950926
W: AU, CA, JP, KR				

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

AU 9537263	A1	19960419	AU 1995-37263	19950926
PRIORITY APPLN. INFO.:			US 1994-313418	19940927
			WO 1995-US12288	19950926

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L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS
AN 2000:383981 CAPLUS
DN 133:34430
TI Topical compositions comprising **ascomycins**
IN **Kriwet, Katrin**; Ledergerber, Dorothea; Riedl, Jutta
PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K047-44
ICS A61K031-445
CC 63-6 (Pharmaceuticals)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000032234	A1	20000608	WO 1999-EP9351	19991201

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI GB 1998-26656 A 19981203
AB The present invention relates to a compn. for topical administration comprising an **ascomycin** and a carrier vehicle comprising means to retain water in the outer skin layer and means to hinder water evapg. from the skin. A compn. was prepd. contg. 33-epichloro-33-desoxyascomycin 1, urea 10, petrolatum 39, wax 10, liq. paraffin 35, and iso-Pr myristate 5 g.
ST **ascomycin** topical compn
IT Alcohols, biological studies
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fatty; topical compns. comprising **ascomycins**)
IT Fats and Glyceridic oils, biological studies
Fatty acids, biological studies
Paraffin oils
Paraffin waxes, biological studies
Waxes
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical compns. comprising **ascomycins**)
IT Carboxylic acids, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical compns. comprising **ascomycins**)
IT Drug delivery systems
(topical; topical compns. comprising **ascomycins**)
IT 57-13-6, Urea, biological studies 110-27-0, Isopropyl myristate 9002-88-4, Polyethylene
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(topical compns. comprising **ascomycins**)
IT 104987-11-3, FK-506 104987-12-4D, **Ascomycin**, derivs. 137071-32-0 148147-65-3, ABT-281 148365-48-4, L-732531 150250-95-6 161861-05-8 273752-75-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

L14 ANSWER 2 OF 3 USPATFULL

IT 136348-15-7P 137070-80-5P, 24-Tert-Butyldimethylsilyoxy-33-methylthiomethoxy-FK 506 137070-83-8P, 24-Tert-Butyldimethylsilyloxy-33-oxo-FR 520 137070-85-0P, 33-p-Tolyloxythiocarbonyloxy-FK 506
137070-86-1P 137070-88-3P 137070-89-4P 137071-01-3P 137071-02-4P
137071-03-5P 137071-04-6P 137071-05-7P 137071-06-8P 137071-07-9P
137071-08-0P 137071-09-1P 137071-13-7P 137071-14-8P 137071-15-9P
137071-16-0P, 24-Methoxy-33-tert-butyldimethylsilyloxy-FK 506
137071-17-1P 137071-18-2P, 24-Tert-Butyldimethylsilyloxy-33-oxo-FK 506
137071-19-3P, 24-Oxo-FK 506 137071-20-6P 137071-21-7P 137071-22-8P
137071-23-9P 137071-24-0P 137071-25-1P 137071-26-2P 137071-27-3P
137071-28-4P 137071-29-5P 137071-30-8P **137071-32-0P**
137071-34-2P 137071-36-4P 137071-38-6P 138118-01-1P 161486-41-5P
161486-42-6P 161486-43-7P 161486-44-8P 161486-45-9P 161486-46-0P
161486-47-1P 161596-28-7P 161596-29-8P 161596-32-3P,
24-Tert-butyldimethylsilyloxy-29-Des-(4-hydroxy-3-methoxycyclohexyl)-29-(3-formylcyclopentyl)-FR 520
(heteroatom-contg. macrolides and their antiinflammatory, immunosuppressive, and antiproliferative activity)

IT 937-63-3, p-Tolyloxythiocarbonyl chloride 104987-11-3, FK 506 **104987-12-4**, FR 520 104987-16-8, .DELTA.23-FK 506
104987-25-9, 33-Tert-butyldimethylsilyloxy-FK 506 129919-88-6, tert-Butyldimethylsilyloxy-(S)-lactic acid 133941-74-9, 33-Tert-butyldimethylsilyloxy-FR 520
(heteroatom-contg. macrolides and their antiinflammatory, immunosuppressive, and antiproliferative activity)

ACCESSION NUMBER: 94:86399 USPATFULL
TITLE: Heteroatoms-containing tricyclic compounds
INVENTOR(S): Baumann, Karl, Vienna, Austria
Emmer, Gerhart, Vienna, Austria
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